

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
20 October 2005 (20.10.2005)

PCT

(10) International Publication Number
WO 2005/096730 A2

(51) International Patent Classification: Not classified

(74) Common Representative: MERCK & CO., INC.; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).

(21) International Application Number:
PCT/US2005/010538

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(22) International Filing Date: 29 March 2005 (29.03.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/558,855 2 April 2004 (02.04.2004) US
60/588,185 15 July 2004 (15.07.2004) US

(71) Applicant (for all designated States except US): MERCK & CO., INC. [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(72) Inventors; and

(75) Inventors/Applicants (for US only): COBURN, Craig, A. [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). ESPESETH, Amy, S. [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). HAZUDA, Daria, J. [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).

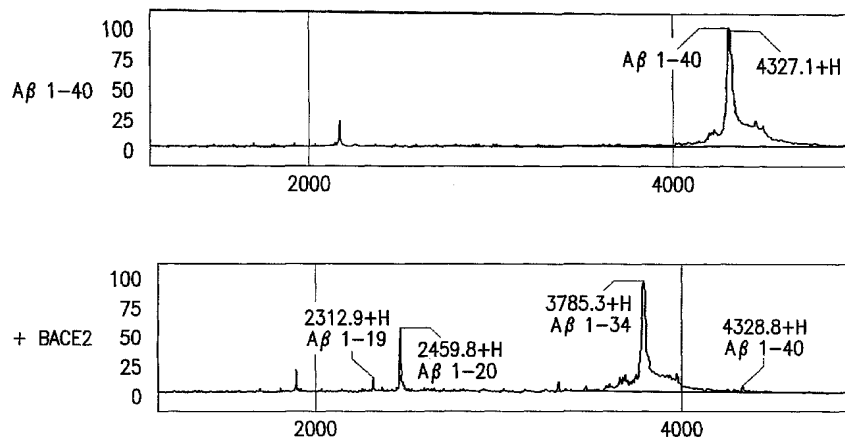
Published:

— without international search report and to be republished upon receipt of that report

[Continued on next page]

(54) Title: METHODS FOR DETECTING SUBSTANCES WHICH BIND TO THE AMYLOID PRECURSOR PROTEIN OR BETA AMYLOID FRAGMENTS, AND BINDING COMPOUNDS

MS ANALYSIS OF BACE2 CLEAVAGE OF A β IN THE PRESENCE AND ABSENCE OF 100 μ M EXAMPLE 4 OR EXAMPLE 1.



(57) Abstract: Disclosed are methods for identifying and evaluating the binding properties of substances to the amyloid precursor protein (APP) or to β -amyloid (A β) fragments of APP. Also disclosed is a class of benzofuran derivatives of formula (I), which interact specifically with APP or A β , and block interaction of APP or A β with secretase or APP or A β binding antibodies.



For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.